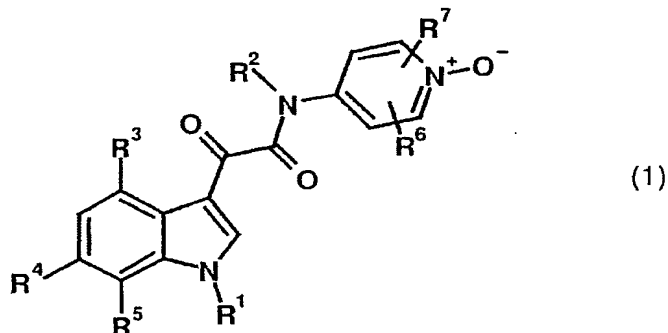


Claims

1. A compound of the formula 1



5

in which

R¹

- 10 (i) is -C₁₋₁₀-alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH,
- NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NHC₆₋₁₄-aryl,
- N(C₆₋₁₄-aryl)₂, -N(C₁₋₆-alkyl)(C₆₋₁₄-aryl), -NO₂,
- 15 -CN, -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -O-C₆₋₁₄-aryl,
- S-C₁₋₆-alkyl, -S-C₆₋₁₄-aryl, -SO₃H, -SO₂C₁₋₆-alkyl,
- SO₂C₆₋₁₄-aryl, -OSO₂C₁₋₆-alkyl, -OSO₂C₆₋₁₄-aryl,
- COOH, -(CO)C₁₋₅-alkyl, -COO-C₁₋₅-alkyl, -O(CO)C₁₋₅-
- 20 alkyl, by mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are preferably N, O and S,
- 25 wherein the C₆₋₁₄-aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -C₁₋₆-alkyl,
- OH, -NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NO₂, -CN,
- 30 -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -S-C₁₋₆-alkyl,
- SO₃H, -SO₂C₁₋₆-alkyl, -OSO₂C₁₋₆-alkyl, -COOH,

-(CO)C₁₋₅-alkyl, -COO-C₁₋₅-alkyl or/and -O(CO)C₁₋₅-alkyl, and wherein the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by
5 -OH, -SH, -NH₂, -F, -Cl, -Br, -I, -SO₃H or/and -COOH, or

(ii) is -C₂₋₁₀-alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono-
10 or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NHC₆₋₁₄-aryl, -N(C₆₋₁₄-aryl)₂, -N(C₁₋₆-alkyl)(C₆₋₁₄-aryl), -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -O-C₆₋₁₄-aryl, -S-C₁₋₆-alkyl, -S-C₆₋₁₄-aryl, -SO₃H, -SO₂C₁₋₆-alkyl, -SO₂C₆₋₁₄-aryl,
15 -OSO₂C₁₋₆-alkyl, -OSO₂C₆₋₁₄-aryl, -COOH, -(CO)C₁₋₅-alkyl, -COO-C₁₋₅-alkyl, -O(CO)C₁₋₅-alkyl, by mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or
20 mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are preferably N, O and S,

wherein the C₆₋₁₄-aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -C₁₋₆-alkyl,
25

-OH, -NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -S-C₁₋₆-alkyl, -SO₃H, -SO₂C₁₋₆-alkyl, -OSO₂C₁₋₆-alkyl, -COOH, -
30 -(CO)C₁₋₅-alkyl, -COO-C₁₋₅-alkyl or/and -O(CO)C₁₋₅-alkyl,

and wherein the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -
35 OH, -SH, -NH₂, -F, -Cl, -Br, -I, -SO₃H or/and -COOH,

R² is hydrogen or -C₁₋₃-alkyl,

R³, R⁴ and R⁵ are hydrogen or a hydroxyl group, wherein at least one of these substituents must be a hydroxyl group,

5 R⁶ and R⁷ may be identical or different and are hydrogen, -C₁₋₆-alkyl, -OH, -SH, -NH₂, -NHC₁₋₆-alkyl, -N(C₁₋₆-alkyl)₂, -NO₂, -CN, -SO₃H, -SO₃-C₁₋₆-alkyl, -COOH, -COO-C₁₋₆-alkyl, -O(CO)-C₁₋₅-alkyl, -F, -Cl, -Br, -I, -O-C₁₋₆-alkyl, -S-C₁₋₆-alkyl, -phenyl or -pyridyl, wherein the phenyl or pyridyl
10 substituents in turn may optionally be substituted one or more times by -C₁₋₃-alkyl, -OH, -SH, -NH₂, -NHC₁₋₃-alkyl, -N(C₁₋₃-alkyl)₂, -NO₂, -CN, -SO₃H, -SO₃C₁₋₃-alkyl, -COOH, -COOC₁₋₃-alkyl, -F, -Cl, -Br, -I, -O-C₁₋₃-alkyl, -S-C₁₋₃-alkyl, or/and -O(CO)C₁₋₃-alkyl, and wherein the alkyl substituents in turn
15 may optionally be substituted one or more times by -OH, -SH, -NH₂, -F, -Cl, -Br, -I, -SO₃H, -SO₃C₁₋₃-alkyl, -COOH, -COOC₁₋₃-alkyl, -O-C₁₋₃-alkyl, -S-C₁₋₃-alkyl or/and -O(CO)-C₁₋₃-alkyl,
20
or salts of the compounds of formula 1.

2. A compound as claimed in claim 1 having an asymmetric carbon atom in the D form, the L form
25 and D,L mixtures, and in the case of a plurality of asymmetric carbon atoms also the diastereomeric forms.
3. A compound as claimed in claim 1 or 2, wherein R²
30 is hydrogen or a methyl group.
4. A compound as claimed in one of claims 1 to 3, wherein R³ = -H, R⁴ = H and R⁵ = -OH.
- 35 5. A compound as claimed in one of claims 1 to 4, wherein at least one of R⁶ and R⁷ is a halogen atom.

6. A compound as claimed in any of claims 1 to 5 selected from:

5 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-chlorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

10 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2-chlorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,4-dichlorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

15 N-(1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

20 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-4-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[7-hydroxy-1-(3-nitrobenzyl)-indol-3-yl]glyoxylamide

25 N-(3,5-dichloro-1-oxopyridin-4-yl)-[7-hydroxy-1-(2-nitrobenzyl)-indol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,6-difluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

30 N-(3,5-dichloro-1-oxopyridin-4-yl)-(7-hydroxy-1-isobutylindol-3-yl)glyoxylamide

35 N-(3,5-dichloro-1-oxopyridin-4-yl)-(1-cyclopropylmethyl-7-hydroxyindol-3-yl)glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[7-hydroxy-1-(4-hydroxybenzyl)-indol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-N-methyl-[1-(4-fluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide

5 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-6-hydroxyindol-3-yl]glyoxylamide

N-(1-oxopyridin-4-yl)-[1-(2-chlorobenzyl)-6-hydroxyindol-3-yl]glyoxylamide

10 and physiologically tolerated salts thereof.

7. A compound as claimed in any of claims 1 to 6 selected from:

15 N-(3,5-Dichloro-1-oxopyridin-4-yl)-[1-(2,6-difluorobenzyl)-7-hydroxyindol-3-yl]glyoxylamide
and physiologically tolerated salts thereof.

8. A process for preparing compounds of formula 1, which comprises converting N-(pyridine-4-yl)-indol-3-ylglyoxylamides of formula 2 into the
20 analogous N-(1-oxopyridin-4-yl)-indol-3-ylglyoxylamides of formula 1 by treatment with an oxidizing agent, and liberating the compounds of formula 1 by eliminating a protective group.

25 9. The process as claimed in claim 8, wherein a peracid, in particular m-chloroperbenzoic acid or/and peracetic acid, is used as oxidizing agent.

30 10. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders in which inhibition of phosphodiesterase 4 is therapeutically beneficial.

35 11. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the

treatment of disorders associated with the effect of eosinophils.

12. The use of the compounds of formula 1 as claimed
5 in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders associated with the effect of neutrophils.
- 10 13. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of hyperproliferative disorders.
- 15 14. A drug product comprising one or more compounds as claimed in any of claims 1 to 6 in addition to conventional physiologically tolerated carriers and/or diluents and excipients.
- 20 15. A process for producing a drug product as claimed in claim 14, which comprises one or more compounds as claimed in any of claims 1 to 6 being processed with conventional pharmaceutical carriers and/or
25 diluents and other excipients to pharmaceutical preparations, or being converted into a form which can be used therapeutically.
- 30 16. The use of compounds of the general formula 1 as claimed in any of claims 1 to 6 and/or of drug products as claimed in claim 14 alone or in combination with one another or in combination with other active pharmaceutical ingredients.